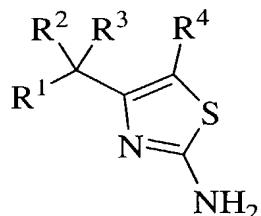


WHAT IS CLAIMED IS:

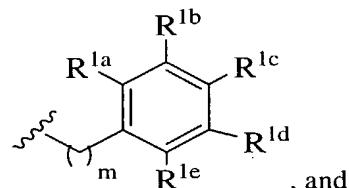
1. A compound of formula (I):



5 wherein:

R¹ is selected from the group consisting of:

- (1) -C₁-6alkyl,
- (2) -C₂-6 alkenyl,
- 10 (3) -C₀-6alkyl-C₃-6 cycloalkyl,
- (4)



- 15 (5) heteroaryl selected from the group consisting of furyl, pyranyl, benzofuranyl, isobenzofuranyl, chromenyl, thienyl, benzothiophenyl, pyrrolyl, pyrazolyl, imidazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzimidazolyl, quinolyl and isoquinolyl,

wherein

- 20 (a) said alkyl, alkenyl or cycloalkyl is unsubstituted or substituted with one or more halogen, -C₁-6alkyl, -C₁-6alkoxy, hydroxy or cyano, and
- (b) said heteroaryl is unsubstituted or substituted with one or more halogen, -C₁-6alkyl, -C₁-6alkoxy, phenyl, hydroxy or cyano,

and wherein R^{1a}, R^{1b}, R^{1c}, R^{1d} and R^{1e} are selected from the group consisting of:

- 25 (a) hydrogen,
- (b) halogen,

(c) cyano,
 (d) hydroxyl,
 (e) $-C_{1-6}$ alkoxy,
 (f) $-C(=O)-O-R^{7a}$,
 (g) $-O-C_{0-6}$ alkyl- $C(=O)-R^{7a}$,
 (h) $-N-R^{7a}-S(O)p-R^{7b}$,
 or R^{1b} and R^{1c} are linked together to form $-O-CH_2-O-$ or $-CH=CH-CH=CH-$;
 wherein said aryl is unsubstituted or substituted with one or
 more halogen, $-C_{1-6}$ alkyl, $-C_{1-6}$ alkoxy, hydroxyl or cyano;

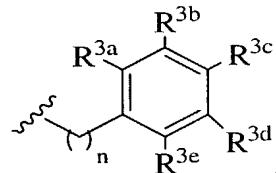
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R^2 is selected from the group consisting of:

(1) hydrogen,
 (2) halogen,
 (3) $-C_{0-6}$ alkyl- Q^1-C_{1-6} alkyl, wherein Q^1 is O or S,
 (4) $-C_{1-6}$ alkyl, and
 (5) hydroxyl;

R^3 is selected from the group consisting of:

(1) hydrogen,
 (2) $-C_{1-6}$ alkyl,
 (3) $-C_{0-6}$ alkyl- C_{3-6} cycloalkyl,
 (4) $-C_{0-6}$ alkyl- Q^2-C_{1-6} alkyl, wherein Q^2 is O, S or $-C(=O)-O-$, and
 (5)



25

(6) $-CH_2$ -heteroaryl, wherein said heteroaryl is selected from the group consisting of furyl, pyranyl, benzofuranyl, isobenzofuranyl, chromenyl, thienyl, benzothiophenyl, pyrrolyl, pyrazolyl, imidazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzimidazolyl, quinolyl and isoquinolyl,

wherein said alkyl or cycloalkyl is unsubstituted or substituted with
 one or more

(a) halogen,

30

5

- (b) $-C_{1-6}alkyl$,
- (c) $-C_{2-6}alkenyl$,
- (d) $-C_{1-6}alkoxy$,
- (e) $-C_{6-10} aryl$,
- (f) hydroxyl, or
- (g) cyano,

and said heteroaryl is unsubstituted or substituted with one or more

- (a) $-C_{1-6}alkyl$,
- 10 (b) $-NR^{3f}R^{3g}$, wherein R^{3f} and R^{3g} are selected from the group consisting of:
 - (i) hydrogen,
 - (ii) $-C_{1-6} alkyl$,
 - (iii) $-C_{1-6}alkyl-C_{6-10} aryl$, wherein said aryl can be substituted or unsubstituted with halogen, cyano, $C_{1-6} alkyl$ or $C_{1-6} alkoxy$, or
 - (iv) $-C_{1-6}alkyl-NR^{7a}R^{7b}$,

15 or N, R^{3f} and R^{3g} together form a 5 or 6 membered heterocyclic group, optionally containing an N, S or O atom in addition to the N atom attached to R^{3f} and R^{3g} ;

20 and R^{3a} , R^{3b} , R^{3c} , R^{3d} and R^{3e} are selected from the group consisting of:

25

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) hydroxyl,
- (v) $-C_{1-6} alkyl$,
- 30 (vi) $-O-R^{7a}$,
- (vii) $-(C=O)-O-R^8$,
- (viii) $-NR^{7a}-S(O)_p OR^{7b}$,
- (ix) $-NR^{7a}-S(O)_p R^{7b}$,
- (x) $-C_{0-6}alkyl-S(O)_m R^{7a}$,
- 35 (xi) $-C(=O)-NR^{7a}R^{7b}$,

(xii) $-\text{C}(=\text{O})-\text{R}^8$

(xiii) $-\text{NH}-\text{C}(=\text{O})-\text{R}^7\text{a}$,

(xiv) $-\text{C}_0\text{-alkyl}-\text{NR}^7\text{aR}^7\text{b}$,

(xv) $-\text{N}_3$,

5 (xvi) $-\text{NO}_2$,

(xvii) C₆-10 aryl, wherein said aryl can be unsubstituted or substituted with one or more

10 (A) halogen,

(B) cyano,

(C) $-\text{C}_{1-6}$ alkyl,

(D) $-\text{C}_{1-6}$ alkoxy,

(E) $-\text{C}(=\text{O})-\text{O}-\text{R}^7\text{a}$,

(F) $-\text{C}(=\text{O})-\text{R}^7\text{a}$,

(G) $-\text{NR}^7\text{aR}^7\text{b}$,

15 (H) $-\text{NR}^7\text{a}-\text{S}(\text{O})_p-\text{R}^7\text{b}$,

(I) $-\text{NR}^7\text{a}-\text{C}(=\text{O})-\text{R}^7\text{b}$,

(J) $-\text{NO}_2$

(xviii) heteroaryl selected from the group consisting of furyl, pyranyl, benzofuranyl, isobenzofuranyl, chromenyl, thienyl, benzothiophenyl, pyrrolyl, pyrazolyl, imidazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzimidazolyl, quinolyl and isoquinolyl,

20 wherein said heteroaryl is unsubstituted or substituted with one or more

25 (A) $-\text{C}_{1-6}$ alkyl, or

(B) $-\text{C}_{1-6}$ alkoxy;

or R^{3c} and R^{3d} are linked together to form phenyl or the group $-\text{O}-\text{CH}_2-\text{O}-$ or $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$;

30 or R² and R³ are linked to form a carbocyclic ring (A):



wherein Q³ is selected from the group consisting of:

- (1) $-\text{CR}^7\text{aR}^7\text{b}-$,
- (2) $-\text{CR}^7\text{aR}^7\text{bCR}^7\text{cR}^7\text{d}-$,
- (3) $-\text{CR}^7\text{a}=\text{CR}^7\text{b}-$,
- (4) $-\text{CR}^7\text{aR}^7\text{bCR}^7\text{cR}^7\text{dCR}^7\text{eR}^7\text{f}-$,
- 5 (5) $-\text{CR}^7\text{a}=\text{CR}^7\text{bCR}^7\text{cR}^7\text{d}-$, and
- (6) $-\text{CR}^7\text{aR}^7\text{bCR}^7\text{d}=\text{CR}^7\text{e}-$;

R^4 is selected from the group consisting of:

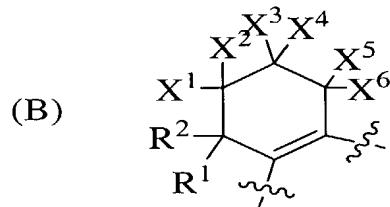
- (1) hydrogen,
- 10 (2) halogen,
- (3) $-\text{C}_1\text{-}6\text{alkyl}$,
- (4) $-\text{C}_2\text{-}6\text{alkenyl}$,
- (5) $-\text{C}_2\text{-}6\text{alkynyl}$,
- (6) phenyl,
- 15 (7) benzyl, and
- (8) heteroaryl selected from the group consisting of furyl, pyranyl, benzofuranyl, isobenzofuranyl, chromenyl, thiienyl, benzothiophenyl, pyrrolyl, pyrazolyl, imidazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzimidazolyl, quinolyl and isoquinolyl,
- 20 wherein said alkyl, alkenyl, alkynyl and phenyl is unsubstituted or substituted with one or more
 - (a) halogen,
 - (b) cyano,
 - (c) hydroxyl,
 - 25 (d) phenyl,
 - (e) $-\text{C}_1\text{-}6\text{ alkyl}$,
 - (f) $-\text{C}_1\text{-}6\text{ alkoxy}$,
 - (g) $-\text{C}(=\text{O})-\text{O}-\text{R}^7\text{a}$,
 - (h) $-\text{C}(=\text{O})-\text{R}^7\text{a}$,
 - 30 (i) $-\text{NR}^7\text{aR}^7\text{b}$,
 - (j) $-\text{NR}^7\text{a}-\text{S}(\text{O})\text{p}-\text{R}^7\text{b}$,
 - (k) $-\text{NR}^7\text{a}-\text{C}(=\text{O})-\text{R}^7\text{b}$,
 - (l) $-\text{NO}_2$;

35 and said heteroaryl is unsubstituted or substituted with one or more:

(a) $-\text{C}_1\text{-6alkyl}$,
 (b) $-\text{C}(=\text{O})-\text{O}-\text{R}^7\text{a}$
 (c) $-\text{C}(=\text{O})-\text{R}^7\text{a}$
 (d) $-\text{NR}^3\text{fR}^3\text{g}$, wherein R^3f and R^3g selected from the group
 consisting of

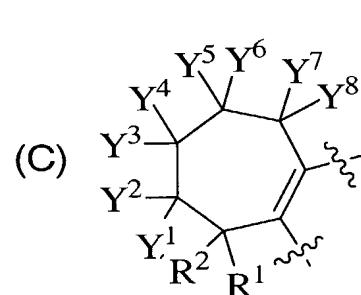
5 (i) hydrogen,
 (ii) $-\text{C}_1\text{-6 alkyl}$,
 (iii) $-\text{C}_1\text{-6alkyl}-\text{C}_6\text{-10 aryl}$, wherein said aryl can be
 substituted or unsubstituted with halogen, cyano, $\text{C}_1\text{-6 alkyl}$ or
 10 $\text{C}_1\text{-6 alkoxy}$, or
 (iv) $-\text{C}_1\text{-6alkyl}-\text{NR}^7\text{aR}^7\text{b}$;

or R^3 and R^4 may be joined together to form a 6-membered carbocyclic ring (B):



15 provided that when R^3 and R^4 are joined together to form (B) then R^1 and R^2 are selected from the group consisting of hydrogen or $\text{C}_1\text{-6 alkyl}$, and X^1 , X^2 , X^3 , X^4 , X^5 and X^6 are selected from the group consisting of hydrogen, $\text{C}_1\text{-6 alkyl}$, $\text{C}_3\text{-6 cycloalkyl}$, cyano, alkylaryl or phenyl,

or R^3 and R^4 may be joined together to form a 7-membered carbocyclic ring (C):



provided that when R^3 and R^4 are joined together to form (C) then R^1 and R^2 are selected from the group consisting of hydrogen, $\text{C}_1\text{-6 alkyl}$ or phenyl, or R^1 and R^2 can be linked together by the group –
 25 $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{-}$; and Y^1 , Y^2 , Y^3 , Y^4 , Y^5 , Y^6 , Y^7 and Y^8 are selected from the group consisting of hydrogen, $\text{C}_1\text{-6 alkyl}$, $\text{C}_3\text{-6 cycloalkyl}$, cyano, alkylaryl or phenyl,

or R¹ and Y⁵, or R¹ and Y⁷, are linked together by -CH₂-;

or R¹ and Y¹, or Y¹ and Y³, are linked together to form a phenyl or cyclopentyl ring;

5

R^{7a}, R^{7b}, R^{7c}, R^{7d}, R^{7e} and R^{7f} are selected from the group consisting of:

- (1) hydrogen,
- (2) C₁₋₆ alkyl, and
- (3) C₆₋₁₀ aryl;

10

wherein said alkyl or aryl is unsubstituted or substituted with one or more halogen, -C₁₋₆alkyl, -C₁₋₆alkoxy, hydroxyl or cyano;

R⁸ is selected from the group consisting of:

15

- (1) hydrogen,
- (2) C₁₋₆ alkyl, and
- (3) C₆₋₁₀ aryl, wherein said aryl is unsubstituted or substituted with one or more halogen, -C₁₋₆alkyl, -C₁₋₆alkoxy, hydroxy or cyano;

20 n is 0, 1, 2 or 3

m is 0 or 1;

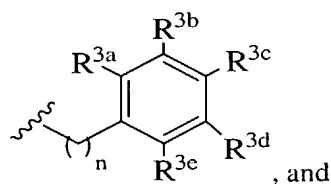
p is 1 or 2;

and pharmaceutically acceptable salts thereof, and individual enantiomers and diastereomers thereof.

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2. The compound of Claim 1 wherein R³ is selected from the group consisting of:

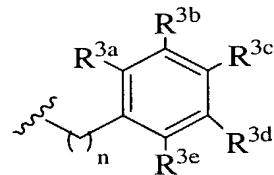
- (1) -C₁₋₆alkyl,
- (2) -C₀₋₆alkyl-C₃₋₆cycloalkyl,
- (3)



(4) $-\text{CH}_2\text{-heteroaryl}$, wherein said heteroaryl is selected from the group consisting of furyl, pyranyl, benzofuranyl, isobenzofuranyl, chromenyl, thienyl, benzothiophenyl, pyrrolyl, pyrazolyl, imidazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzimidazolyl, quinolyl and isoquinolyl.

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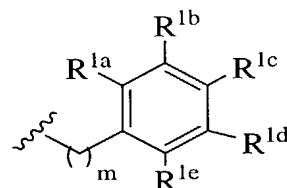
3. The compound of Claim 2 wherein R^3 is



and n is 1.

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4. The compound of Claim 2 wherein R^1 is



and m is 0.

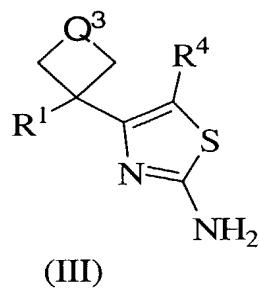
15 5. The compound of Claim 4 wherein R^{1a} , R^{1b} , R^{1d} and R^{1e} are hydrogen, and R^{1c} is selected from the group consisting of halogen, C_{1-6} alkyl and C_{1-6} alkoxy.

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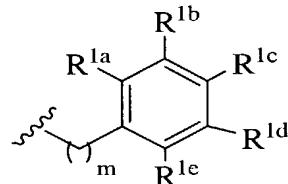
6. The compound of Claim 2 wherein R^2 is hydrogen.

7. The compound of Claim 2 wherein R^4 is hydrogen.

8. The compound of Claim 1 which is a compound of formula (III)



9. The compound of Claim 8 wherein R¹ is



and m is 0.

10. The compound of Claim 9 wherein Q³ is selected from the group consisting of

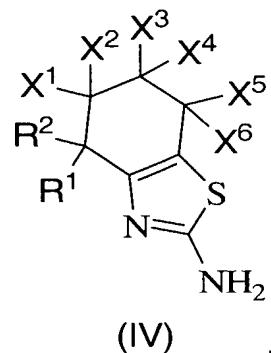
- (1) -CR^{7a}R^{7b}-,
- (2) -CR^{7a}R^{7b}CR^{7c}R^{7d}-, and
- (3) -CR^{7a}R^{7b}CR^{7c}R^{7d}CR^{7e}R^{7f}-.

11. The compound of Claim 10 wherein R^{1d} is selected from the group consisting of halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy and cyano, and R^{1a}, R^{1b}, R^{1c} and R^{1e} are hydrogen.

12. The compound of Claim 9 wherein R^{1b} and R^{1d} are selected from the group consisting of halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy and cyano, and R^{1a}, R^{1c} and R^{1e} are hydrogen.

20 13. The compound of Claim 8 wherein Q³ is selected from the group consisting of -CH₂CH₂- and -CH₂CH₂CH₂-.

14. The compound of Claim 1 which is a compound of formula (IV)

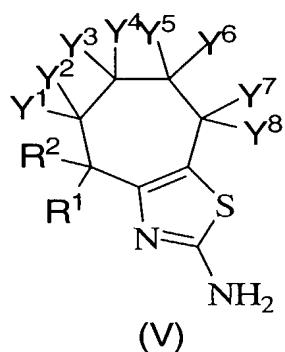


(IV)

15. The compound of Claim 14 wherein R¹ and R² are hydrogen.

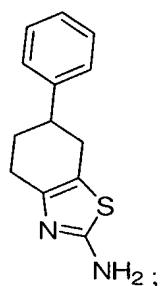
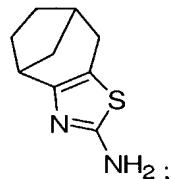
16. The compound of Claim 1 which is a compound of formula (V)

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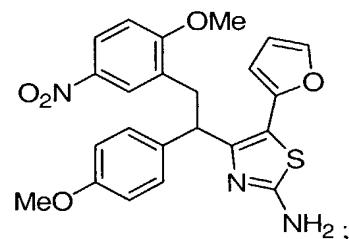
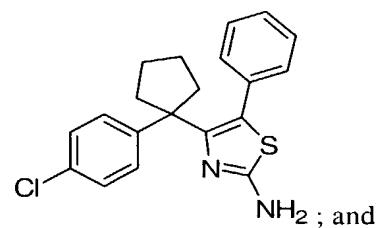
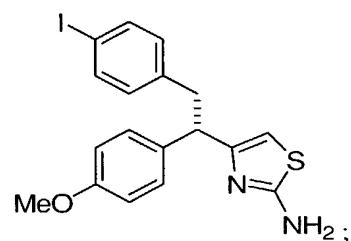
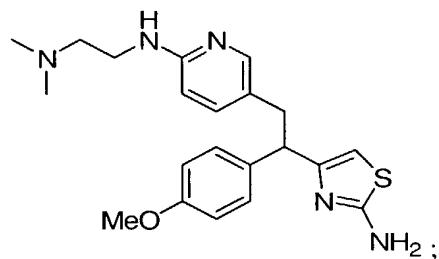
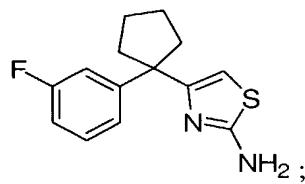


(V)

17. The compound of Claim 1 which is selected from the group consisting of



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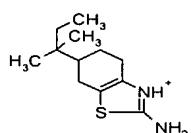
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and pharmaceutically acceptable salts thereof.

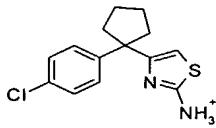
18. The compound of Claim 1 which is selected from the group consisting of

Example 5 / 3.02 Example Structure

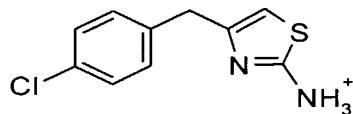
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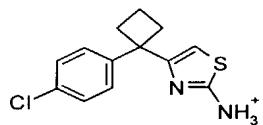
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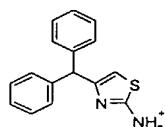
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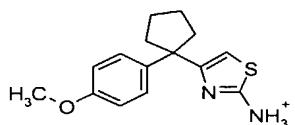
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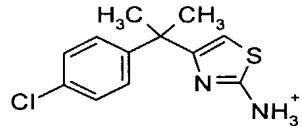
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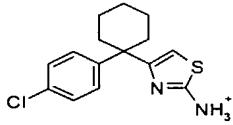
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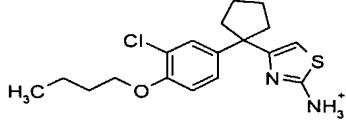
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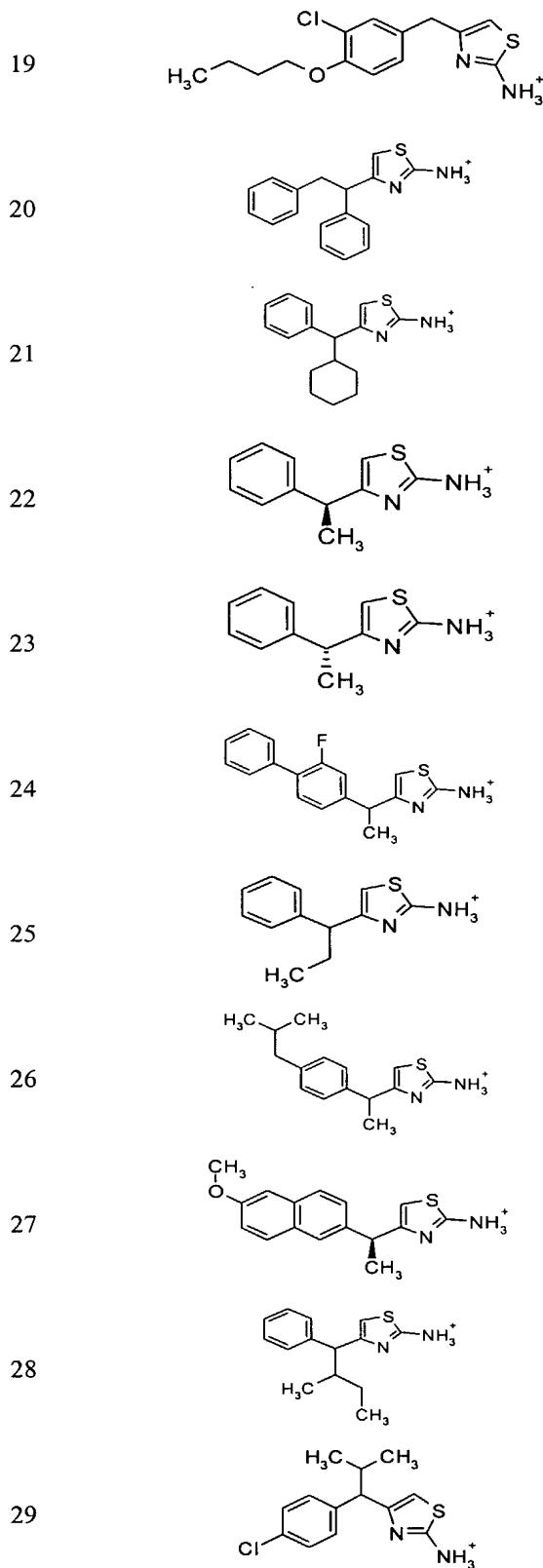


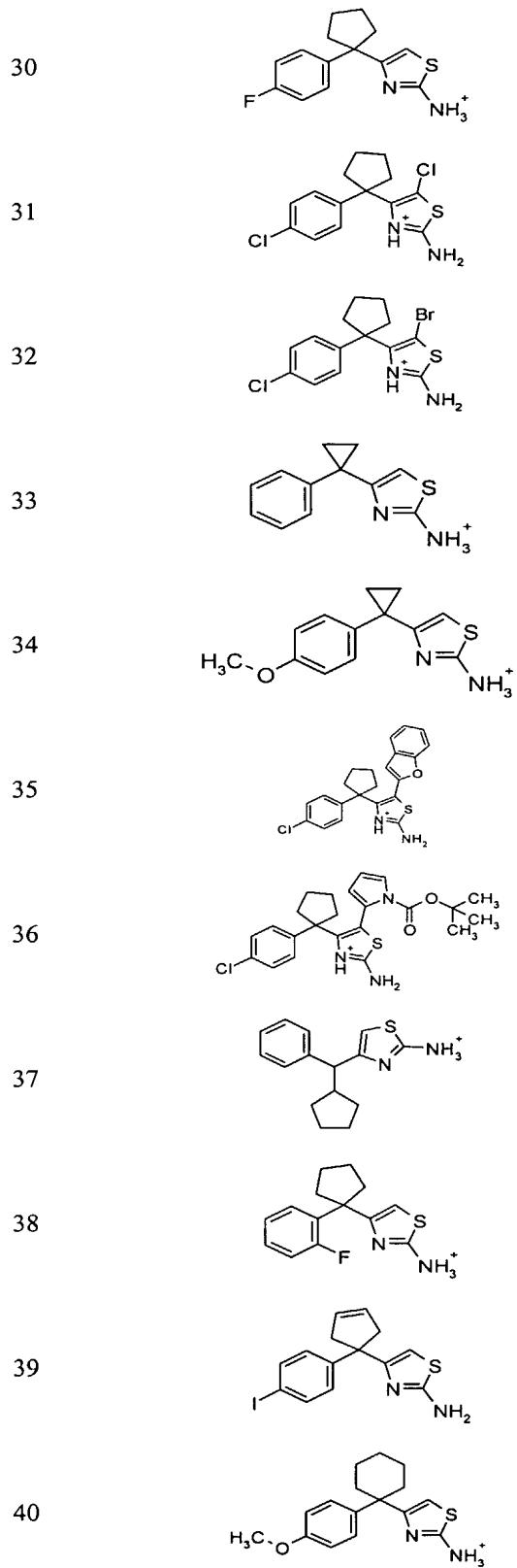
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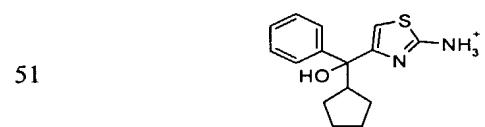
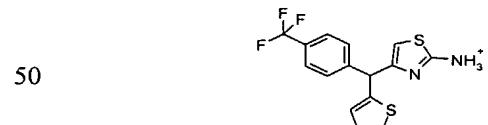
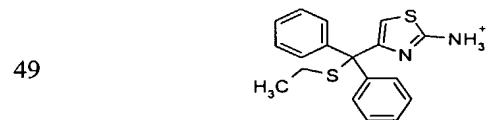
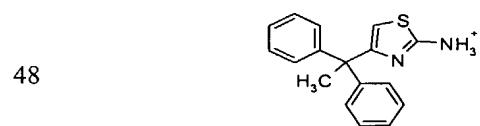
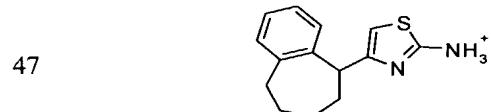
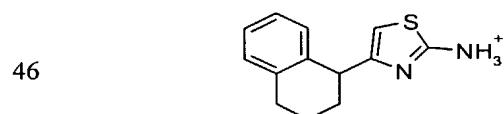
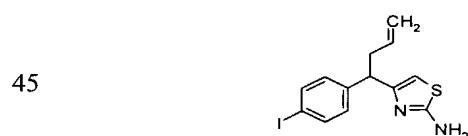
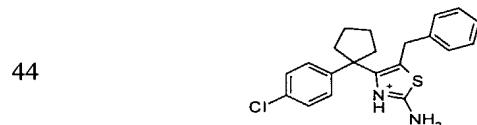
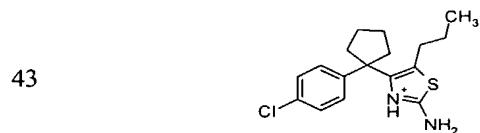
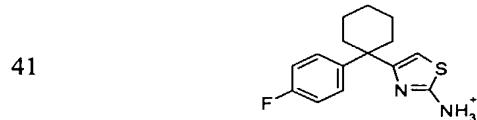
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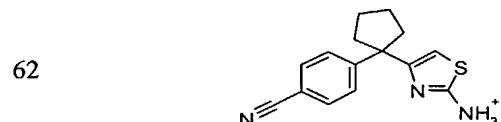
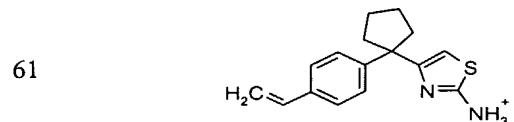
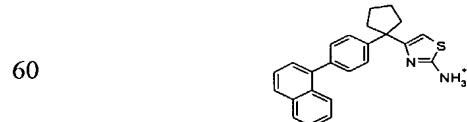
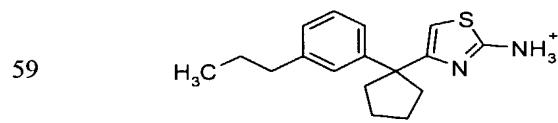
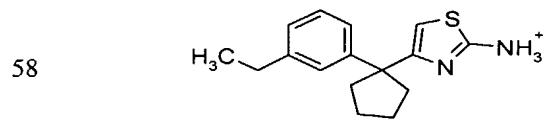
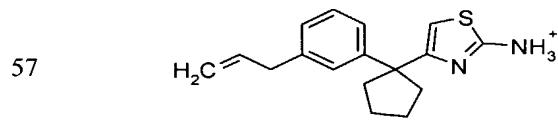
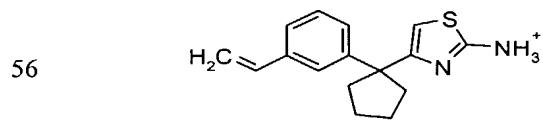
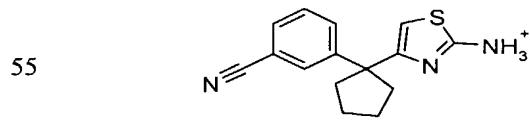
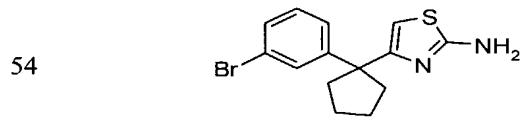
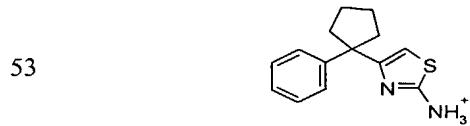
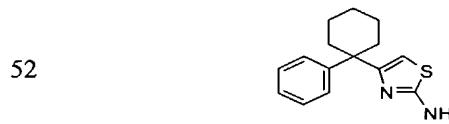


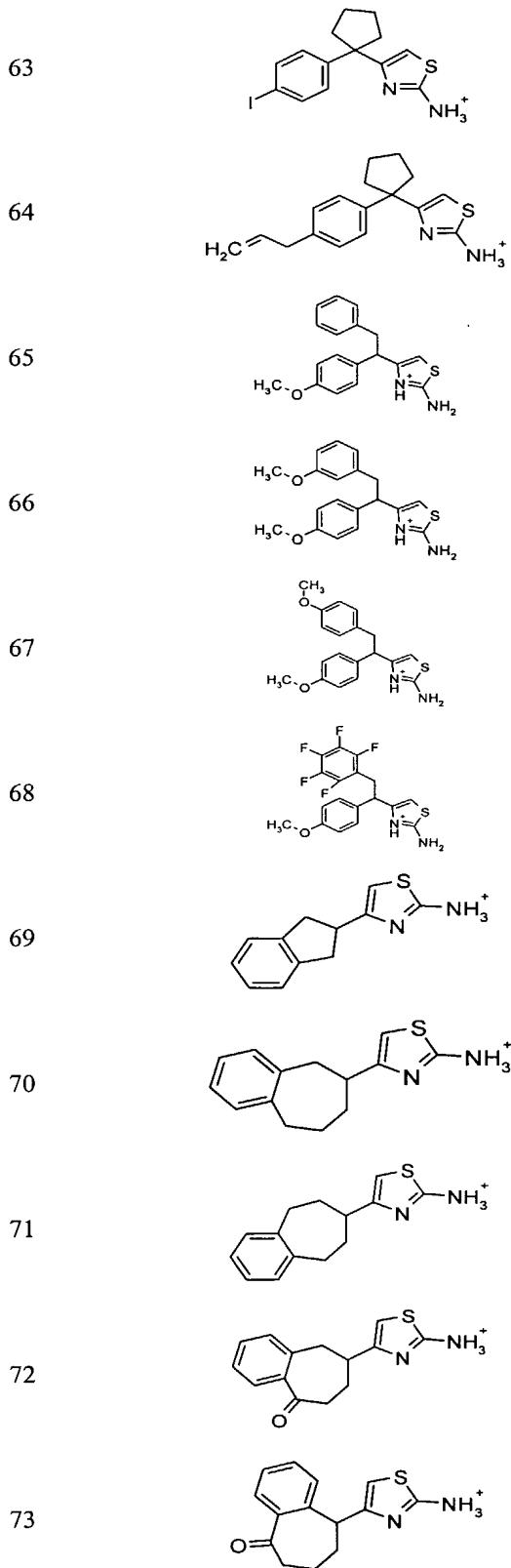


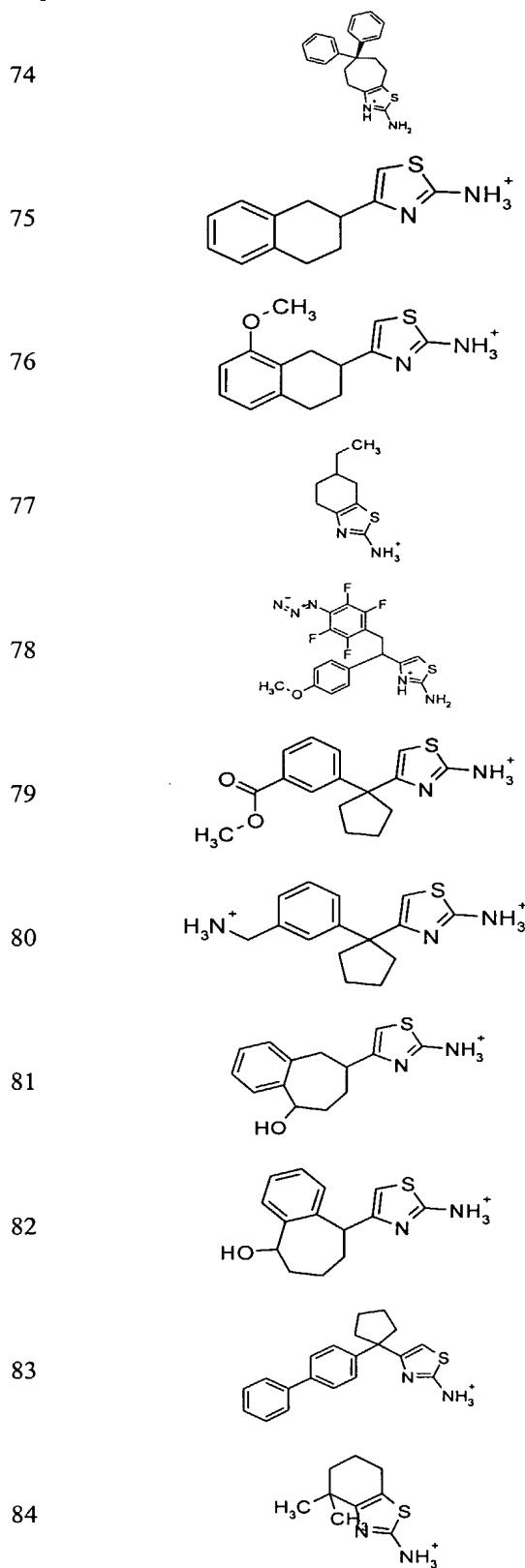


PCT Examples / 4.0222 Structure



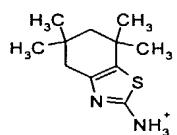




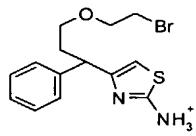


PCT Example 1605 / 2.0222 Structure

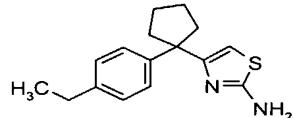
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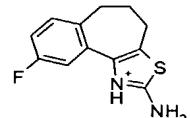
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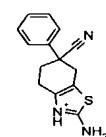
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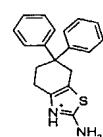
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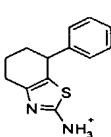
89



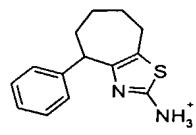
90



91



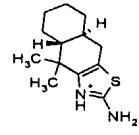
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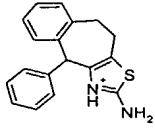
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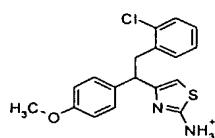


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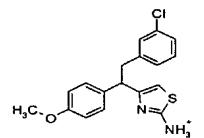


PCT/US05/10224 Example Structure

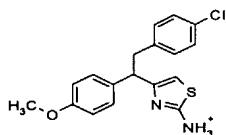
96



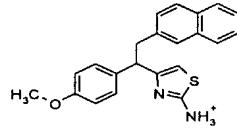
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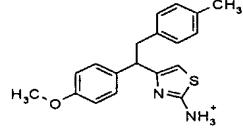
98



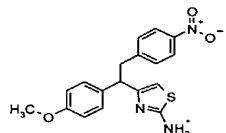
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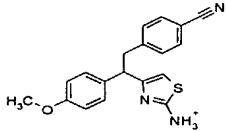
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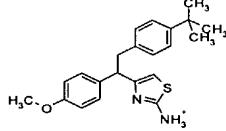
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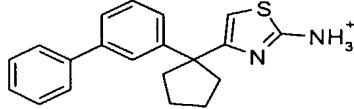
102



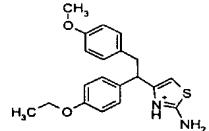
103



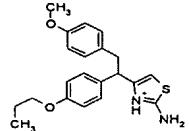
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105

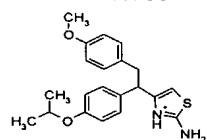


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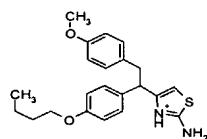


PCT Example 105 / 3.022 Structure

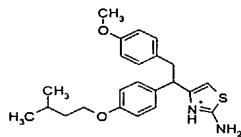
107



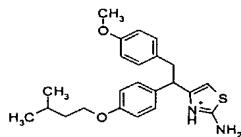
108



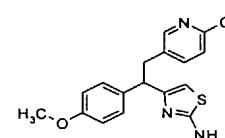
109



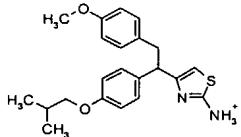
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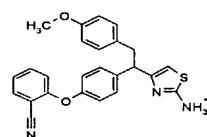
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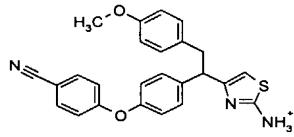
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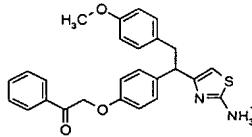
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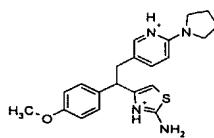
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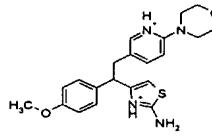
115



116



117



PCT Example 05 / 4.0222 Structure

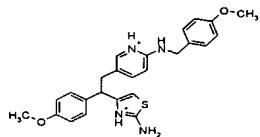
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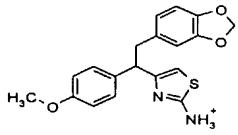
119



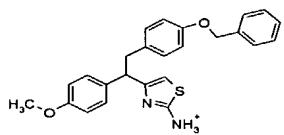
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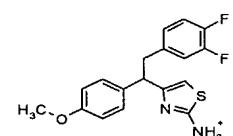
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122



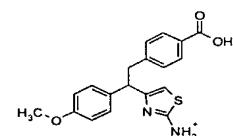
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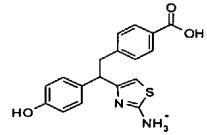
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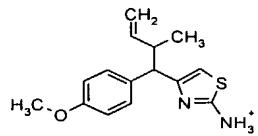
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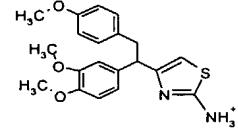
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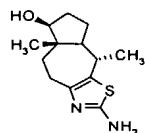
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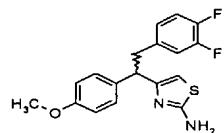
128



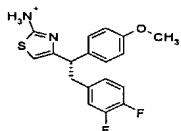
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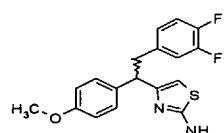
130



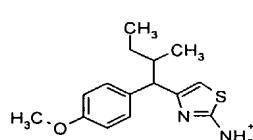
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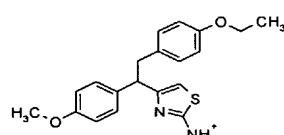
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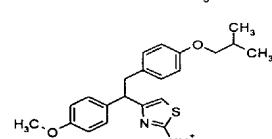
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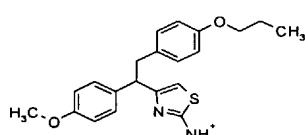
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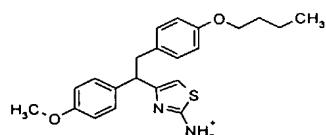
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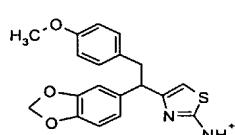
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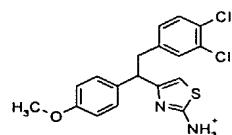
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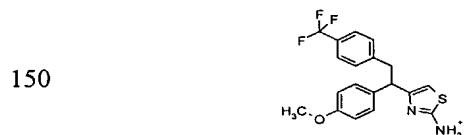
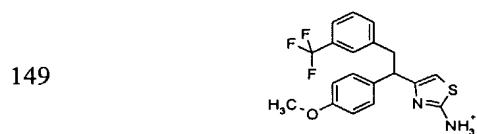
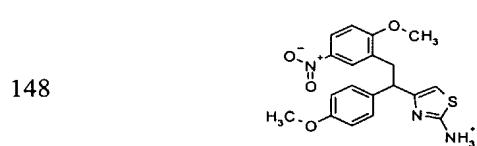
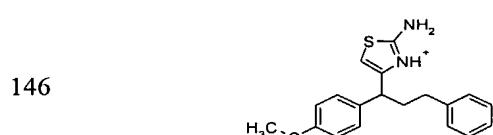
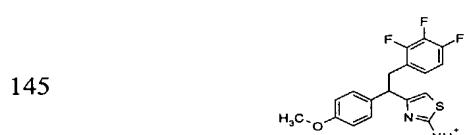
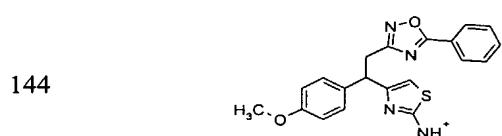
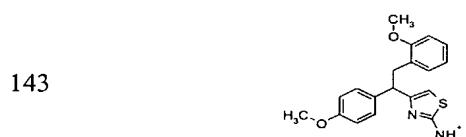
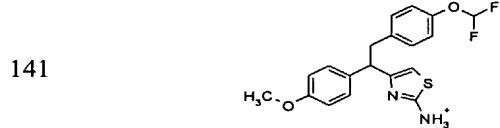
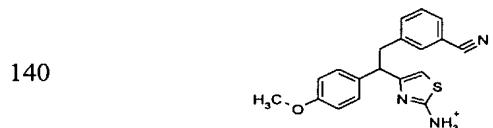
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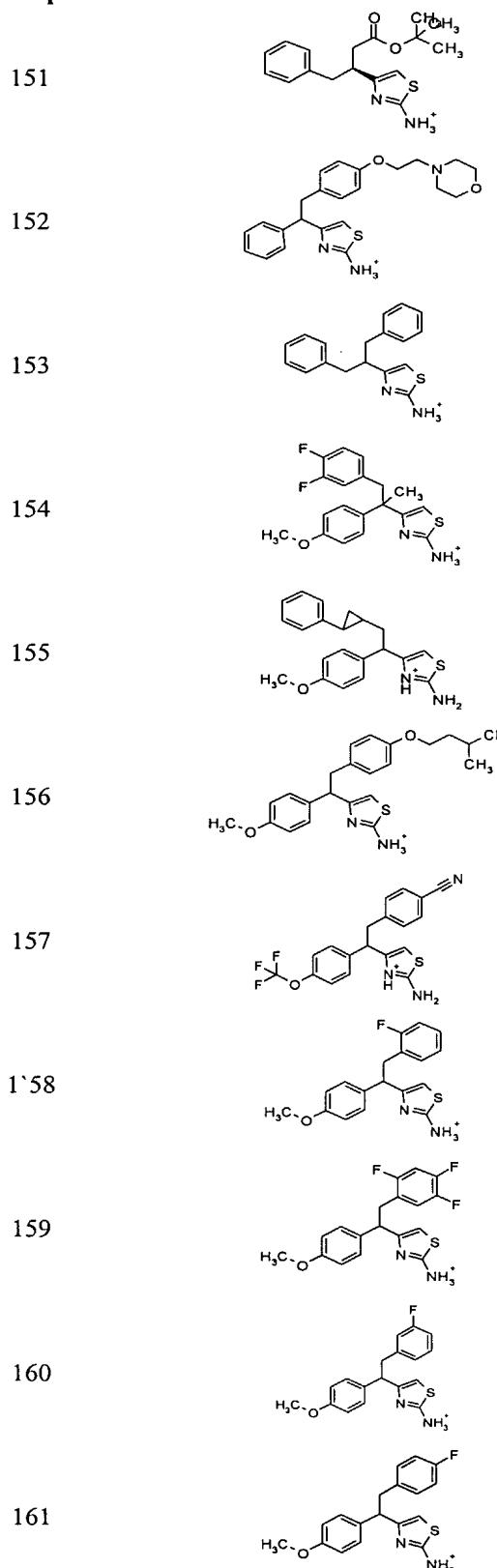


139



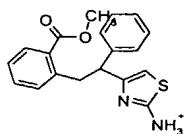
PCT Example 05 / 1022 | Structure



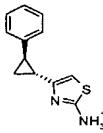


Example Structure

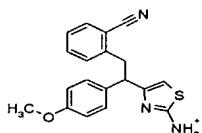
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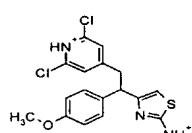
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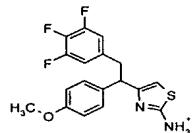
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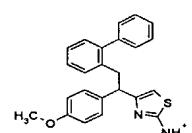
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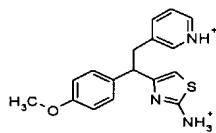
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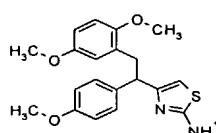
167



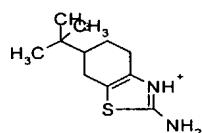
168



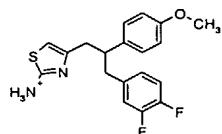
169



170

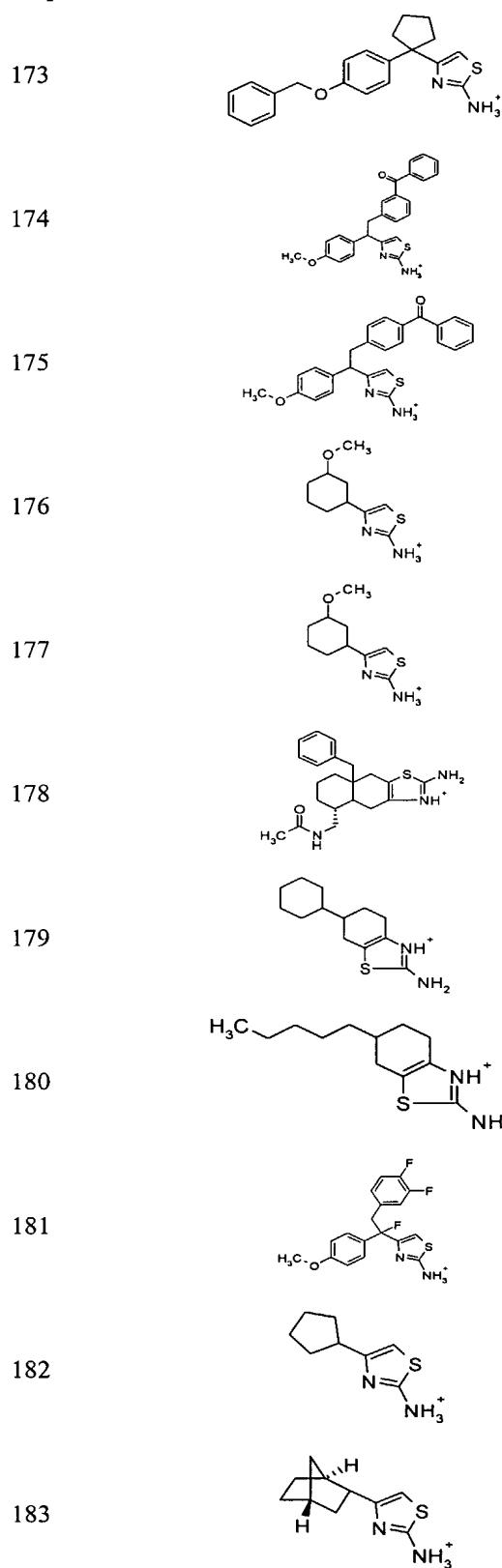


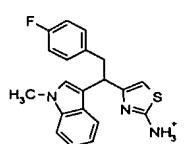
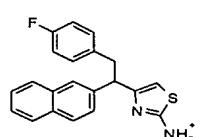
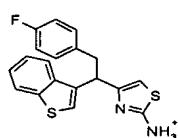
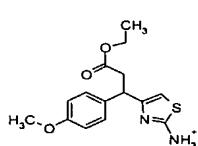
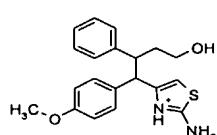
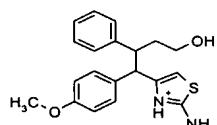
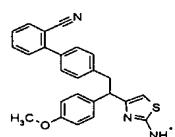
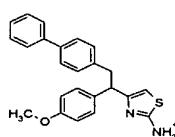
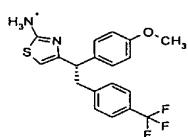
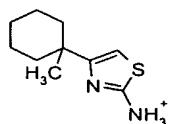
171



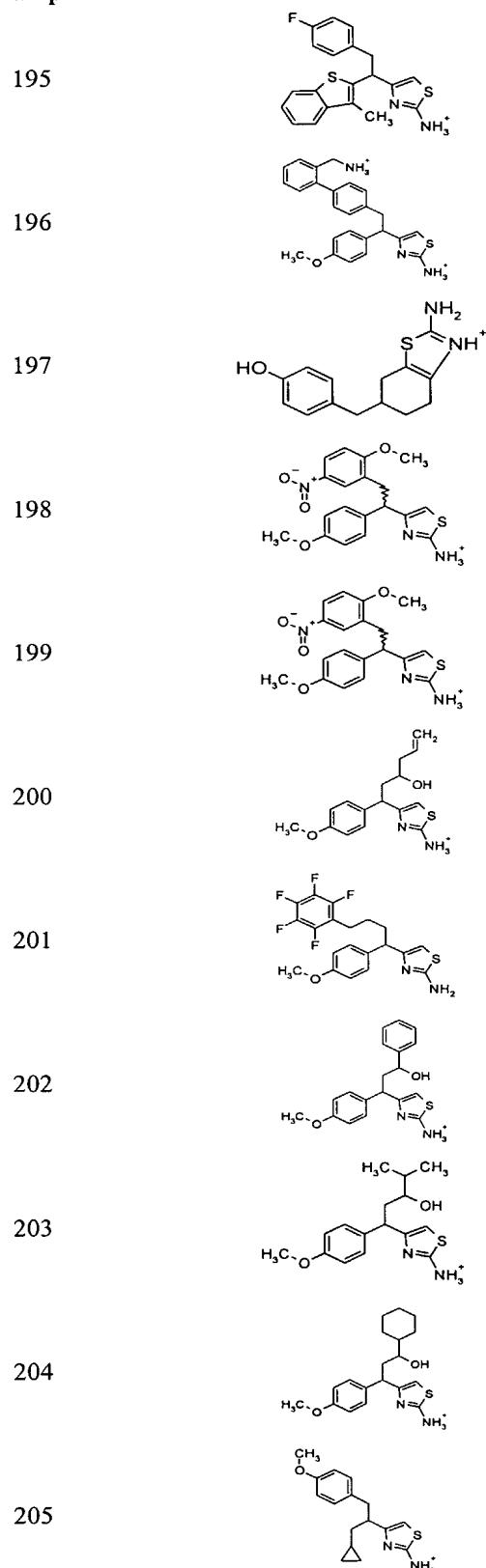
172



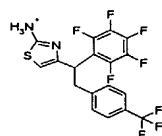




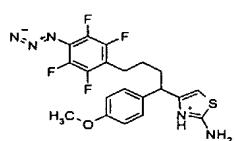
Example 22 Structure



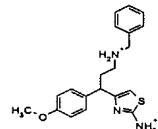
206



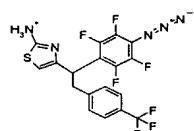
207



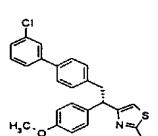
208



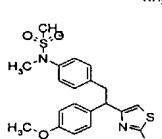
209



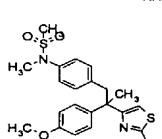
210



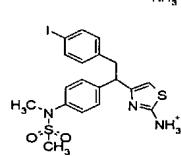
211



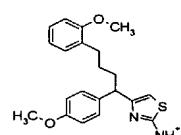
212



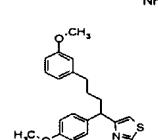
313



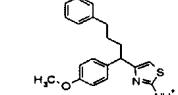
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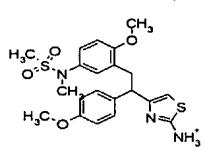
215



216

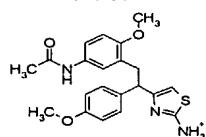


216

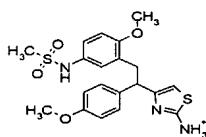


PCT Examples / 4.0222 Structure

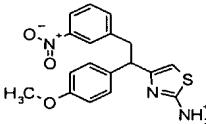
217



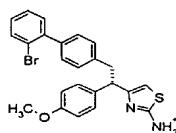
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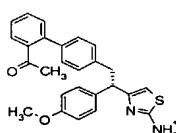
219



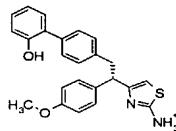
220



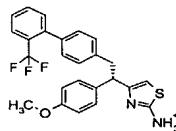
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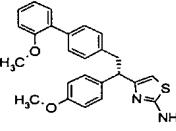
222



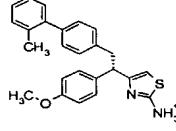
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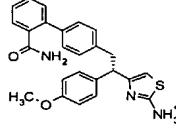
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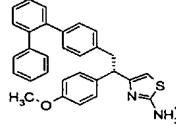
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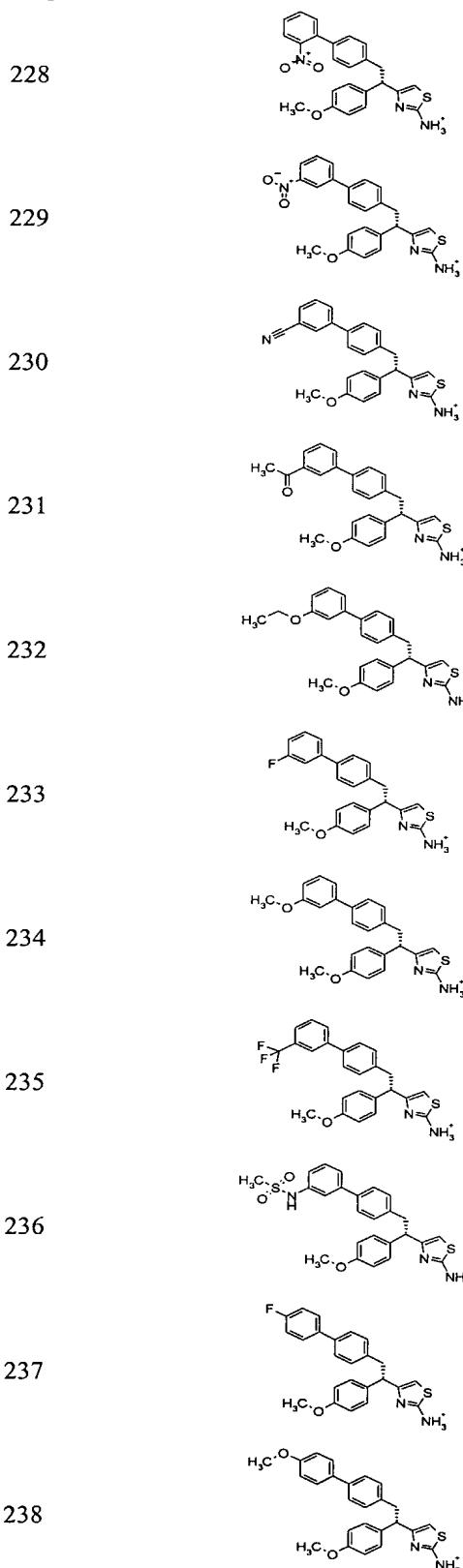


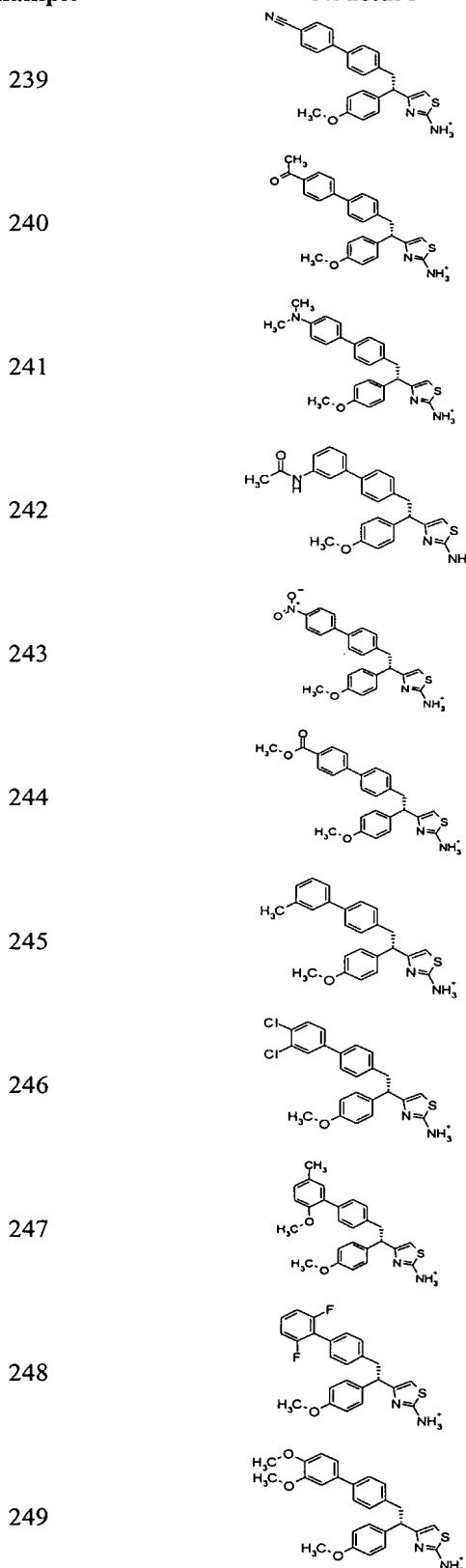
226



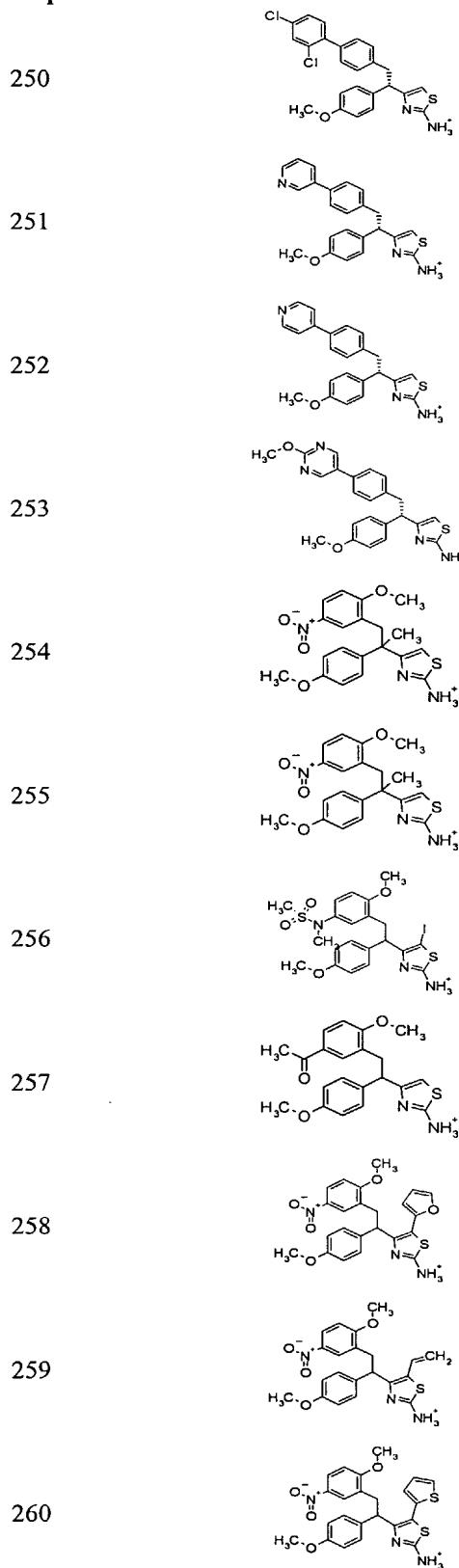
227





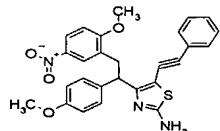


PCT Examples / Detailed Structure

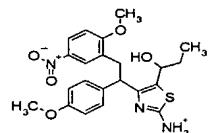


PCT Example 261-270 Structure

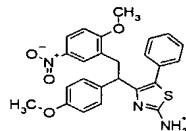
261



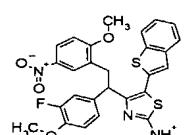
262



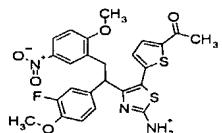
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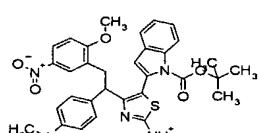
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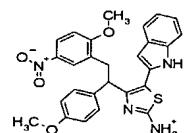
265



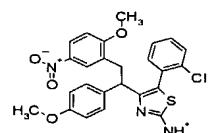
266



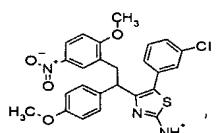
267



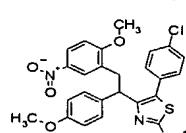
268



269



270



and pharmaceutically acceptable salts thereof.

19. The pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

20. A method for treating Alzheimer's disease in a patient in need thereof comprising administering to the patient a therapeutically effective amount of a compound of Claim 1 or a pharmaceutically acceptable salt thereof.

21. A method of inhibiting HIV protease in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of a compound of Claim 1 or a pharmaceutically acceptable salt thereof.

22. A method of treating infection by HIV in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of a compound of Claim 1 or a pharmaceutically acceptable salt thereof.

20
23. A method of treating AIDS in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of a compound of Claim 1 or a pharmaceutically acceptable salt thereof.